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NEWS 3 MAR 16 CASREACT coverage extended  
NEWS 4 MAR 20 MARPAT now updated daily  
NEWS 5 MAR 22 LWPI reloaded  
NEWS 6 MAR 30 RDISCLOSURE reloaded with enhancements  
NEWS 7 APR 02 JICST-EPLUS removed from database clusters and STN  
NEWS 8 APR 30 GENBANK reloaded and enhanced with Genome Project ID field  
NEWS 9 APR 30 CHEMCATS enhanced with 1.2 million new records  
NEWS 10 APR 30 CA/CAPplus enhanced with 1870-1889 U.S. patent records  
NEWS 11 APR 30 INPADOC replaced by INPADOCDB on STN  
NEWS 12 MAY 01 New CAS web site launched  
NEWS 13 MAY 08 CA/CAPplus Indian patent publication number format defined  
NEWS 14 MAY 14 RDISCLOSURE on STN Easy enhanced with new search and display fields  
NEWS 15 MAY 21 BIOSIS reloaded and enhanced with archival data  
NEWS 16 MAY 21 TOXCENTER enhanced with BIOSIS reload  
NEWS 17 MAY 21 CA/CAPplus enhanced with additional kind codes for German patents  
NEWS 18 MAY 22 CA/CAPplus enhanced with IPC reclassification in Japanese patents  
NEWS 19 JUN 27 CA/CAPplus enhanced with pre-1967 CAS Registry Numbers  
NEWS 20 JUN 29 STN Viewer now available  
NEWS 21 JUN 29 STN Express, Version 8.2, now available  
NEWS 22 JUL 02 LEMBASE coverage updated  
NEWS 23 JUL 02 LMEDLINE coverage updated  
NEWS 24 JUL 02 SCISEARCH enhanced with complete author names  
NEWS 25 JUL 02 CHEMCATS accession numbers revised  
NEWS 26 JUL 02 CA/CAPplus enhanced with utility model patents from China  
NEWS 27 JUL 16 CAPplus enhanced with French and German abstracts  
  
NEWS EXPRESS 29 JUNE 2007: CURRENT WINDOWS VERSION IS V8.2,  
CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),  
AND CURRENT DISCOVER FILE IS DATED 05 JULY 2007.  
  
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\*\*\*\*\* STN Columbus \*\*\*\*\*

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=> file registry

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SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 16:26:54 ON 17 JUL 2007

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STRUCTURE FILE UPDATES: 16 JUL 2007 HIGHEST RN 942468-13-5

DICTIONARY FILE UPDATES: 16 JUL 2007 HIGHEST RN 942468-13-5

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TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

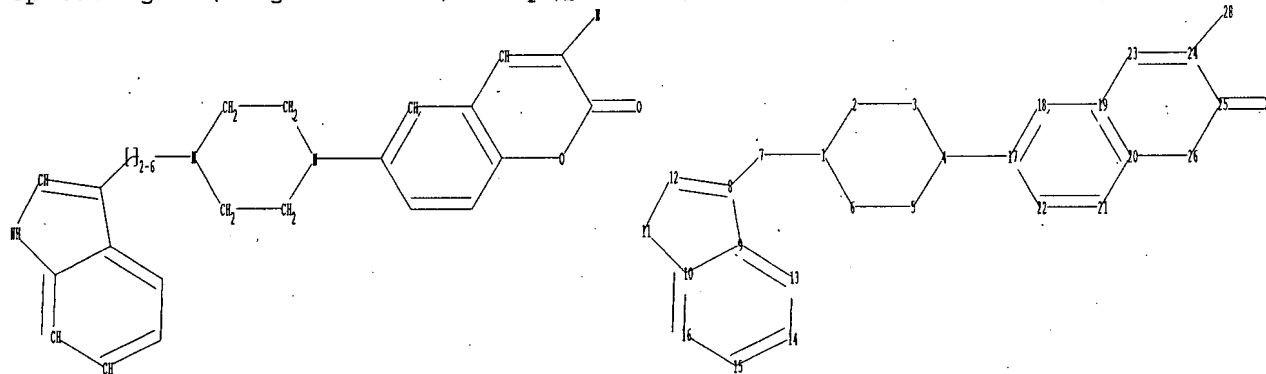
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<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10 series\10551997\10551997b.str



chain nodes :

7 27 28

ring nodes :

1 2 3 4 5 6 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23 24  
25 26

chain bonds :

1-7 4-17 7-8 24-28 25-27

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-12 9-10 9-13 10-11 10-16 11-12 13-14  
 14-15 15-16 17-18 17-22 18-19 19-20 19-23 20-21 20-26 21-22 23-24 24-25  
 25-26  
 exact/norm bonds :  
 1-7 4-17 10-11 11-12 24-28 25-27  
 exact bonds :  
 1-2 1-6 2-3 3-4 4-5 5-6 7-8 8-9 8-12 19-23 20-26 23-24 24-25 25-26  
 normalized bonds :  
 9-10 9-13 10-16 13-14 14-15 15-16 17-18 17-22 18-19 19-20 20-21 21-22  
 isolated ring systems :  
 containing 1 : 8 : 17 :

Match level :

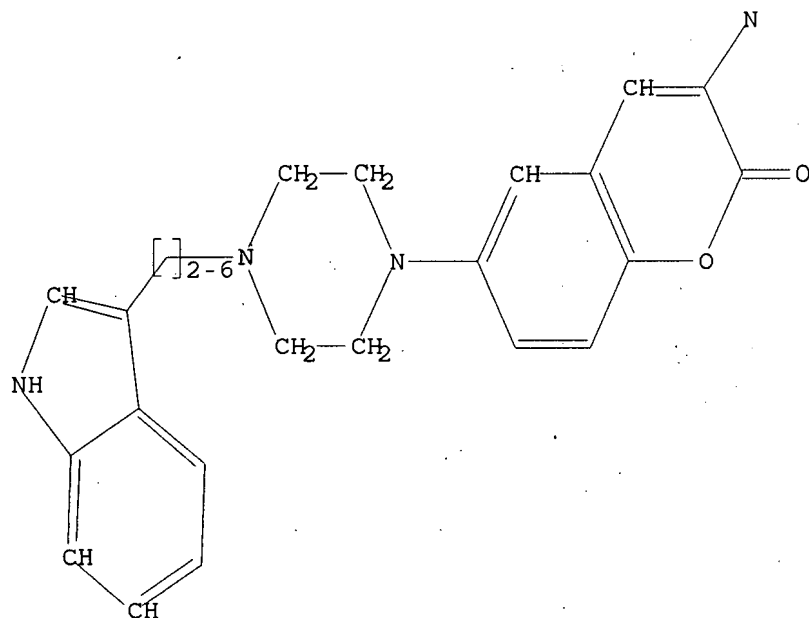
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom  
 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom  
 20:Atom 21:Atom 22:Atom 23:Atom 24:Atom 25:Atom 26:Atom 27:CLASS 28:CLASS

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 16:27:14 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED

0 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
 BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 0 TO 0

PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 16:27:18 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED -- 45 TO ITERATE

100.0% PROCESSED 45 ITERATIONS 8 ANSWERS  
SEARCH TIME: 00.00.01

L3 8 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	172.10	172.31

FILE 'CAPLUS' ENTERED AT 16:27:23 ON 17 JUL 2007  
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FILE LAST UPDATED: 16 Jul 2007 (20070716/ED)

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=> s l3

L4 2 L3

=> d l4 1-2 ibib abs hitstr

ACCESSION NUMBER: 2004:841760 CAPLUS

DOCUMENT NUMBER: 141:350194

TITLE: Preparation of chromenonindole derivatives as 5-HTX agonists and/or antagonists  
 INVENTOR(S): Schiemann, Kai; Boettcher, Henning; Heinrich, Timo; Hoelzemann, Guenter; Van Amsterdam, Christoph; Bartoszyk, Gerd; Leibrock, Jochim; Seyfried, Christoph

PATENT ASSIGNEE(S): Merck Patent GmbH, Germany

SOURCE: Ger. Offen., 19 pp.

CODEN: GWXXBX

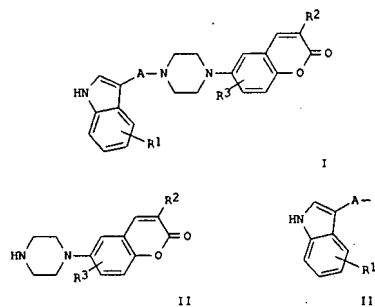
DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10315285	A1	20041014	DE 2003-10315285	20030404
AU 2004226279	A1	20041014	AU 2004-226279	20040308
CA 2520892	A1	20041014	CA 2004-2520892	20040308
WO 2004087692	A1	20041014	WO 2004-EP2351	20040308
V: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1611126	A1	20060104	EP 2004-718300	20040308
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
BR 2004008467	A	20060404	BR 2004-8467	20040308
CN 1768056	A	20060503	CN 2004-80008571	20040308
JP 2006522034	T	20060928	JP 2006-504582	20040308
US 2006528680	A1	20061116	US 2005-551997	20051004
PRIORITY APPL. INFO.: DE 2003-10315285 A 20030404				
WO 2004-EP2351 W 20040308				
OTHER SOURCE(S): CASREACT 141:350194; MARPAT 141:350194				
GI				



AB Chromenone-indole derivs. I [R1 = H, OH, CN, halogen, CONHR, OB, CO2R, CF3, NO2, NR2, NRCOR, NRCO2R, NRCONR2; R2 = NR2, NRCOR, NRCO2R, NRCONR2, NO2, NR2, NRCOR, NRCO2R, NRCONR2; R3 = H, OH, CN, halogen, CONHR, OB, CO2R, CF3, NO2, NR2, NRCOR, NRCO2R, NRCONR2; R = H, B, Het, Ar; A = (un)branched, unsatd. C2-6-alkyl; B = (un)branched C1-6-alkyl], as well as of them pharmaceutical usable prodrugs, derivs., solvates, stereoisomers and salts show special effects on the central nervous system, above all 5-HT reabsorption inhibiting and 5-HTX agonistic and/or antagonistic effects. The procedure for the preparation of I is characterized by: alkylation of piperazine II by indole derivative III [L = Cl, Br, I, OH]. Thus, EMD 391987 [I·HCl; A = (CH2)4, R1 = CN-5, R2 = NHAc, R3 = H], was prepared from piperazine II [R2 = NHAc, R3 = H] via N-alkylation with indole III [A = (CH2)4, R1 = CN-5, L = I] in N-methylpyrrolidone containing EtN(CH2CH2)2, followed by treatment with HCl. They are characterized by a particularly high bioavailability and a particularly high inhibition of the 5 HT reabsorption (see graphs).

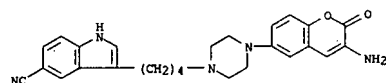
IT 752258-06-3P, EMD 480246 752258-08-5P  
 752258-10-9P, EMD 391987 752258-11-0P, EMD 487535  
 773878-58-3P 774242-09-0P, EMD 480247  
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RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

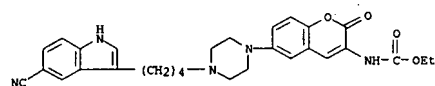
(preparation of chromenonindole derivs. as 5-HTX agonists and/or antagonists)

RN 752258-06-3 CAPLUS

CN 1H-Indole-5-carbonitrile, 3-[4-[4-(3-amino-2-oxo-2H-1-benzopyran-6-yl)-1-piperazinyl]butyl]- (9CI) (CA INDEX NAME)

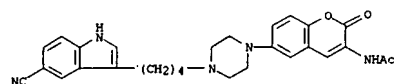


RN 752258-08-5 CAPLUS  
 CN Carbamic acid, [6-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]-2-oxo-2H-1-benzopyran-3-yl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



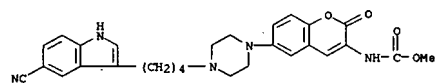
● HCl

RN 752258-10-9 CAPLUS  
 CN Acetamide, N-[6-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]-2-oxo-2H-1-benzopyran-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)

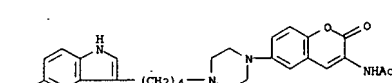


● HCl

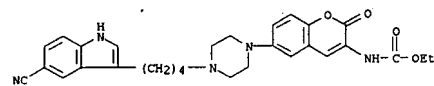
RN 752258-11-0 CAPLUS  
 CN Carbamic acid, [6-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]-2-oxo-2H-1-benzopyran-3-yl]-, methyl ester (9CI) (CA INDEX NAME)



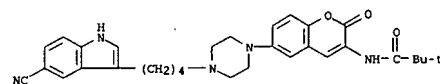
RN 773878-58-3 CAPLUS  
 CN Acetamide, N-[6-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]-2-oxo-2H-1-benzopyran-3-yl]- (9CI) (CA INDEX NAME)



RN 774242-09-0 CAPLUS  
 CN Carbamic acid, [6-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]-2-oxo-2H-1-benzopyran-3-yl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 774242-10-3 CAPLUS  
 CN Propanamide, N-[6-[4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl]-2-oxo-2H-1-benzopyran-3-yl]-2,2-dimethyl- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:710478 CAPLUS  
DOCUMENT NUMBER: 141:235678  
TITLE: Dual 5-HT1A agonists and 5-HT re-uptake inhibitors by combination of indole-butyl-amine and chromenonyl-piperazine structural elements in a single molecular entity

AUTHOR(S): Heinrich, Timo; Boettcher, Henning; Schiemann, Kai; Hoelzemann, Guenter; Schwarz, Michael; Bartoszyk, Gerd D.; van Amsterdam, Christoph; Greiner, Hartmut E.; Seyfried, Christoph A.

CORPORATE SOURCE: APReclinical Pharmaceutical Research, Merck KGaA, Darmstadt, 64293, Germany

SOURCE: Bioorganic & Medicinal Chemistry (2004), 12(18), 4843-4852

CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 141:235678

AB The dual serotonin (5-HT) re-uptake inhibitor and 5-HT1A receptor agonist vilazodone was found to increase central serotonin levels in rat brain. In the course of structural modifications of vilazodone 3-(4-[(4-(2-oxo-2H-1-benzopyran-6-yl)-1-piperazinyl)-butyl]-1H-indole-5-carbonitrile and its fluorine analog 6-(4-[(4-(5-fluor-3-indolyl)-butyl]-1-piperazinyl)-2H-1-benzopyran-2-one have been identified. These unsubstituted chromenones are equally potent at the 5-HT1A receptor and 5-HT transporter. The implementation of nitrogen functionalities in position 3 of the chromenones resulted in compds. acting as agonists at the 5-HT1A receptor and as 5-HT re-uptake inhibitors like vilazodone. Ex vivo 5-HT re-uptake inhibition and in vitro 5-HT agonism were determined in

the PCA- and GTPyS-assay, resp. The potential of these chromenones to increase central 5-HT levels was measured in microdialysis studies and especially the derivs.

3-(4-[(4-(3-amino-2-oxo-2H-chromen-6-yl)-piperazin-1-yl)-butyl]-1H-indole-5-carbonitrile, Et (6-(4-[(4-(5-cyano-1H-indol-3-yl)-butyl]-piperazin-1-yl)-2-oxo-2H-chromen-3-yl)-carbamate and N-(6-(4-[(4-(5-cyano-1H-indol-3-yl)-butyl]-piperazin-1-yl)-2-oxo-2H-chromen-3-yl)-acetamide give rise to rapid development of increased serotonin levels in rat brain cortex, lasting longer than 3 h.

IT 752258-06-3P 752258-07-4P 752258-08-5P

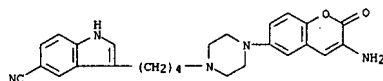
752258-10-9P 752258-11-0P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(dual 5-HT1A agonists and 5-HT re-uptake inhibitors by combination of indole-Bu-amine and chromenonyl-piperazine structural elements in a single mol. entity)

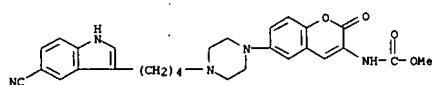
RN 752258-06-3 CAPLUS

CN 1H-Indole-5-carbonitrile, 3-(4-[(4-(3-amino-2-oxo-2H-1-benzopyran-6-yl)-1-piperazinyl)butyl]- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

(Continued)

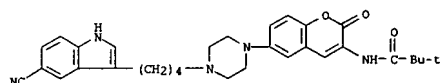


REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 752258-07-4 CAPLUS

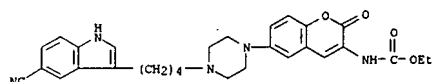
CN Propanamide, N-[6-[4-[(4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl)-2-oxo-2H-1-benzopyran-3-yl]-2,2-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 752258-08-5 CAPLUS

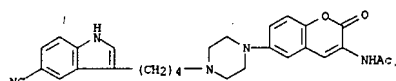
CN Carbamic acid, [6-[4-[(4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl)-2-oxo-2H-1-benzopyran-3-yl]-, ethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 752258-10-9 CAPLUS

CN Acetamide, N-[6-[4-[(4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl)-2-oxo-2H-1-benzopyran-3-yl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 752258-11-0 CAPLUS

CN Carbamic acid, [6-[4-[(4-(5-cyano-1H-indol-3-yl)butyl]-1-piperazinyl)-2-oxo-2H-1-benzopyran-3-yl]-, methyl ester (9CI) (CA INDEX NAME)

=> d his

(FILE 'HOME' ENTERED AT 16:26:46 ON 17 JUL 2007)

FILE 'REGISTRY' ENTERED AT 16:26:54 ON 17 JUL 2007

L1                   STRUCTURE UPLOADED  
L2                   0 S L1  
L3                   8 S L1 FULL

FILE 'CAPLUS' ENTERED AT 16:27:23 ON 17 JUL 2007

L4                   2 S L3

=> log y

COST IN U.S. DOLLARS

SINCE FILE  
ENTRY

TOTAL  
SESSION

FULL ESTIMATED COST

13.36

185.67

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE  
ENTRY

TOTAL  
SESSION

CA SUBSCRIBER PRICE

-1.56

-1.56

STN INTERNATIONAL LOGOFF AT 16:30:59 ON 17 JUL 2007

## EAST Search History

Ref #	Hits	Search Query	DBs	Default Operator	Plurals	Time Stamp
L2	524	514/254.09.ccls.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/07/17 17:21
L3	1	chromenoneindole	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	AND	ON	2007/07/17 17:22
L4	1	l2 l3	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	AND	ON	2007/07/17 17:23
L5	111	l2 dopamine	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	AND	ON	2007/07/17 17:23
L6	107	l2 dopamine receptor	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	AND	ON	2007/07/17 17:24
L7	54	l2 serotonin uptake	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	AND	ON	2007/07/17 17:24
S2	2	"7084143".pn.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/07/17 11:39



## EAST Search History

S3	2	"4376123".pn.	US-PGPUB; USPAT; USOCR; FPRS; EPO; JPO; DERWENT; IBM_TDB	OR	ON	2007/07/17 17:21
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